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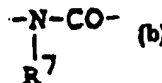
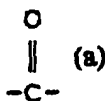
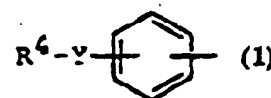
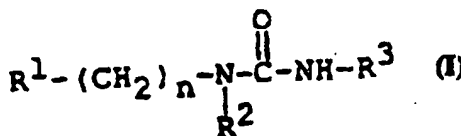
INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁶ : C07C 275/28, C07D 213/75, 257/04, 231/12, 401/12, A61K 31/17, 31/44, 31/41, C07D 213/40, 307/38, 277/28, 233/54, C07C 311/21, C07D 333/20</p>	AI	<p>(11) International Publication Number: WO 96/10559 (43) International Publication Date: 11 April 1996 (11.04.96)</p>
<p>(21) International Application Number: PCT/JP95/01982 (22) International Filing Date: 29 September 1995 (29.09.95) (30) Priority Data: 9419970.0 4 October 1994 (04.10.94) GB 9506720.3 31 March 1995 (31.03.95) GB 9514021.6 10 July 1995 (10.07.95) GB (71) Applicant (for all designated States except US): FUJISAWA PHARMACEUTICAL CO., LTD. [JP/JP]; 4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka 541 (JP). (72) Inventors; and (75) Inventors/Applicants (for US only): TERASAWA, Takeshi [JP/JP]; 1625-302, Matsugaokanakamachi, Kawachinagano-shi, Osaka 586 (JP). TANAKA, Akira [JP/JP]; 9-10-302, Nakano-cho, Takarazuka-shi, Hyogo 665 (JP). CHIBA, Toshiyuki [JP/JP]; 1-1-503, Nakatsuji-cho, Nara-shi, Nara 630 (JP). TAKASUGI, Hisashi [JP/JP]; 3-116-10, Mozu Umekita, Sakai-shi, Osaka 591 (JP).</p>	<p>(74) Agent: SEKI, Hideo; Fujisawa Pharmaceutical Co., Ltd., Osaka Factory, 1-6, Kashima 2-chome, Yodogawa-ku, Osaka-shi, Osaka 532 (JP). (81) Designated States: AU, CA, CN, HU, JP, KR, MX, RU, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</p>	

(54) Title: UREA DERIVATIVES AND THEIR USE AS ACAT-INHIBITORS

(57) Abstract

Urea derivatives of formula (I), wherein R¹ is a group of formula (1) (in which R⁴ is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and Y is bond, lower alkylene, -S-, -O-, (a), -CH-, -CONH-, (b), (in which R⁷ is lower alkyl), -NHSO₂-, -SO₂NH-, -SO₂NHCO- or -CONHSO₂-); or thiazolyl, imidazolyl, pyrazolyl, pyridyl,



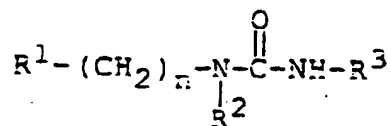
thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s); R² is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl, R³ is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable substituent(s), and n is 0 or 1, and a pharmaceutically acceptable salt thereof which are useful as a medicament in the treatment of hypercholesterolemia, hyperlipidemia and atherosclerosis.

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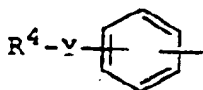
C L A I M S

1. A compound of the formula :



wherein

R^1 is a group of the formula :



(in which

R^4 is aryl which may have suitable substituent(s), or heterocyclic group which may have suitable substituent(s), and

Y is bond, lower alkylene, -S-, -O-, $\overset{C}{\parallel}$ -C-,
 =CH-, -CONH-, $\underset{\substack{| \\ R^7}}{N}$ -CO-, (in which R^7 is lower alkyl),
 -NHSO₂-, -SO₂NH-, -SO₂NHCO- or -CONHSO₂-);
 or

thiazolyl, imidazolyl, pyrazolyl, pyridyl, thienyl, furyl, isoxazolyl or chromanyl, each of which may have suitable substituent(s);

R^2 is lower alkyl, lower alkoxy(lower)alkyl, cycloalkyl, ar(lower)alkyl which may have suitable substituent(s), heterocyclic group or heterocyclic(lower)alkyl,

R^3 is aryl which may have suitable substituent(s) or heterocyclic group which may have suitable

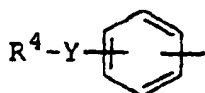
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substituent(s), and
 n is 0 or 1,
 and a pharmaceutically acceptable salt thereof.

- 5 2. A compound of claim 1, wherein
 R¹ is a group of the formula :



(in which
 R⁴ is phenyl which may have 1 to 3 substituent(s)
 selected from the group consisting of
 15 halogen, lower alkyl, di(lower)alkylamino,
 protected amino, cyano, heterocyclic group
 which may have mono(or di or tri)-
 ar(lower)alkyl, hydroxy, protected hydroxy
 and mono(or di or tri)halo(lower)alkyl;
 20 or thienyl, pyrazolyl, imidazolyl,
 triazolyl, pyridyl, pyrrolyl, tetrazolyl,
 oxazolyl, thiazolyl, oxadiazolyl,
 piperazinyl, thiazolidinyl or
 methylenedioxyphenyl, each of which may have
 25 1 to 3 substituent(s) selected from the
 group consisting of lower alkyl, mono(or di
 or tri)ar(lower)alkyl and oxo;

30 Y is bond, lower alkylene, -S-, -O-, $\overset{\text{O}}{\parallel}$ -C-, =CH-,
 -CONH-, $\underset{\text{R}^7}{\text{N}}\text{-CO-}$ (in which R⁷ is lower alkyl),
 -NHSO₂-, -SO₂NH-, -SO₂NHCO- or -CONHSO₂-);
 or
 35 thiazolyl, imidazolyl, pyrazolyl, pyridyl,

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